

research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 18:44:15 ON 06 DEC 2006

=> file reg

COST IN U.S. DOLLARS

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |
| 0.21 | 0.21 |

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:44:28 ON 06 DEC 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

DICTIONARY FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

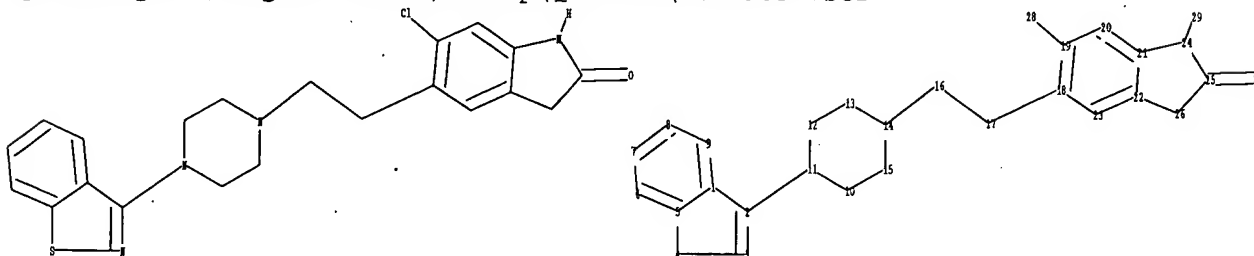
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10729837.str



chain nodes :

16 17 27 28 29

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 18 19 20 21 22 23 24 25

26

chain bonds :
2-11 14-16 16-17 17-18 19-28 24-29 25-27
ring bonds :
1-2 1-5 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 18-19 18-23 19-20 20-21 21-22 21-24 22-23 22-26 24-25 25-26
exact/norm bonds :
1-2 2-3 2-11 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15 14-16 21-24
22-26 24-25 25-26 25-27
exact bonds :
16-17 17-18 19-28 24-29
normalized bonds :
1-5 1-9 5-6 6-7 7-8 8-9 18-19 18-23 19-20 20-21 21-22 22-23

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:CLASS
29:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 18:46:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 141 TO ITERATE

100.0% PROCESSED 141 ITERATIONS

46 ANSWERS

SEARCH TIME: 00.00.01

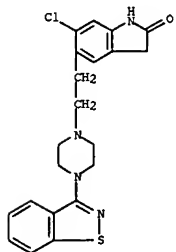
L2 46 SEA SSS FUL L1

=> d 12 1-10

L2 ANSWER 1 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 913329-18-7 REGISTRY
 ED Entered STN: 16 Nov 2006
 CN Imidodicarbonimidic diamide, N,N-dimethyl-, monohydrochloride, mw. with
 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-
 dihydro-2H-indol-2-one (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . C4 H11 N5 . Cl H
 CI MXS
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

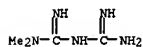
CH 1

CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

CRN 1115-70-4 (657-24-9)
 CMF C4 H11 N5 . Cl H



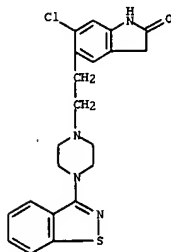
● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909419-73-4 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, compd.
 with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-
 dihydro-2H-indol-2-one (1:1) (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . C10 H16 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CH 1

CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

CRN 5872-08-2
 CMF C10 H16 O4 S

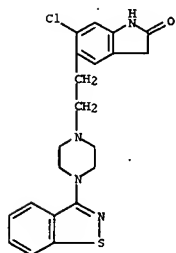


1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909419-72-3 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
 (1R,4S)-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-
 piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (1:1) (9CI) (CA
 INDEX NAME)
 FS STEREOSEARCH
 MF C21 H21 Cl N4 O S . C10 H16 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CH 1

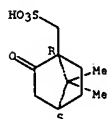
CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

CRN 35963-20-3
 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).

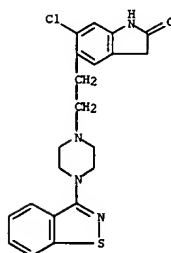


1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909419-71-2 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
 (1S,4R)-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-
 piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (1:1) (9CI) (CA
 INDEX NAME)
 FS STEREOSEARCH
 MF C21 H21 Cl N4 O S . C10 H16 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CH 1

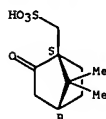
CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

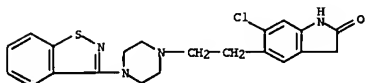
CRN 3144-16-9
 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909419-70-1 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrate (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . H2 O
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL
 CRN (146939-27-7)

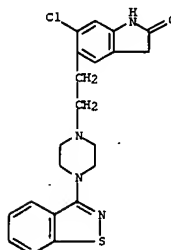


1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909389-56-6 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, diacetate (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . 2 C2 H4 O2
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CH 1

CRN 146939-27-7
 CHF C21 H21 Cl N4 O S



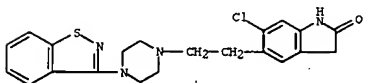
CH 2

CRN 64-19-7
 CHF C2 H4 O2



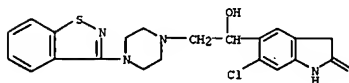
1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 7 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909389-55-5 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrobromide (5:8) (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . 8/5 Br H
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL
 CRN (146939-27-7)



1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

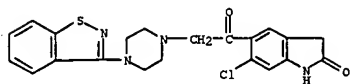
L2 ANSWER 8 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 884305-08-2 REGISTRY
 ED Entered STN: 15 May 2006
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-1-hydroxyethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O2 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

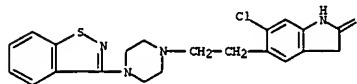
L2 ANSWER 9 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 884305-07-1 REGISTRY
 ED Entered STN: 15 May 2006
 CN 2H-Indol-2-one, 5-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]acetyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)
 MF C21 H19 Cl N4 O2 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 46 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 881169-56-8 REGISTRY
 ED Entered STN: 20 Apr 2006
 CN 2H-Indol-2-one, 5-[[2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . Br H
 SR CA
 LC STN Files: CA, CAPLUS
 CRN (146939-27-7)

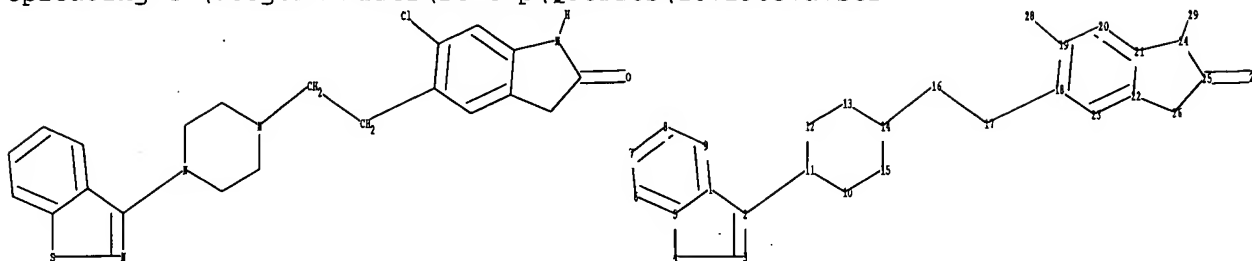


● HBr

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=>

Uploading C:\Program Files\Stnexp\Queries\10729837a.str



chain nodes :

16 17 27 28 29

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 18 19 20 21 22 23 24 25
26

chain bonds :

2-11 14-16 16-17 17-18 19-28 24-29 25-27

ring bonds :

1-2 1-5 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 18-19 18-23 19-20 20-21 21-22 21-24 22-23 22-26 24-25 25-26

exact/norm bonds :

1-2 2-3 2-11 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15 21-24 22-26
24-25 25-26 25-27

exact bonds :

14-16 16-17 17-18 19-28 24-29

normalized bonds :

1-5 1-9 5-6 6-7 7-8 8-9 18-19 18-23 19-20 20-21 21-22 22-23

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:CLASS
29:CLASS

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> d 13
L3 HAS NO ANSWERS
L3 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 13 full
FULL SEARCH INITIATED 18:49:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 141 TO ITERATE

100.0% PROCESSED 141 ITERATIONS 44 ANSWERS
SEARCH TIME: 00.00.01

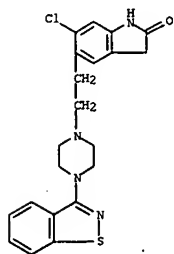
L4 44 SEA SSS FUL L3

=> d 14 1-10

L4 ANSWER 1 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 913329-18-7 REGISTRY
 ED Entered STN: 16 Nov 2006
 CN Imidodicarbonimidic diamide, N,N-dimethyl-, monohydrochloride, mixt. with
 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-
 dihydro-2H-indol-2-one (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . C4 H11 N5 . Cl H
 CI MXS
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

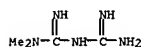
CH 1

CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

CRN 1115-70-4 (657-24-9)
 CMF C4 H11 N5 . Cl H



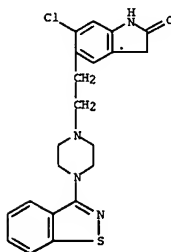
● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 2 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909419-73-4 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, compd.
 with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-
 dihydro-2H-indol-2-one (1:1) (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . C10 H16 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CH 1

CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

CRN 5872-08-2
 CMF C10 H16 O4 S

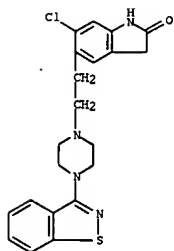


1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 3 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909419-72-3 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
 (1R,4R)-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-
 piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (1:1) (9CI) (CA
 INDEX NAME)
 FS STEREOSEARCH
 MF C21 H21 Cl N4 O S . C10 H16 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CH 1

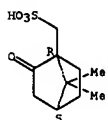
CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

CRN 35963-20-3
 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).

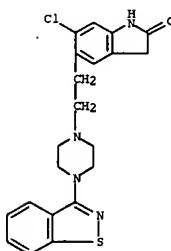


1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 4 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909419-71-2 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
 (1S,4R)-, compd. with 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-
 piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (1:1) (9CI) (CA
 INDEX NAME)
 FS STEREOSEARCH
 MF C21 H21 Cl N4 O S . C10 H16 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CH 1

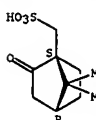
CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

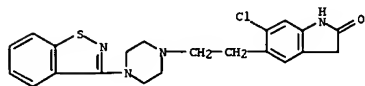
CRN 3144-16-9
 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 5 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909419-70-1 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrate (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . H2 O
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL
 CRN (146939-27-7)



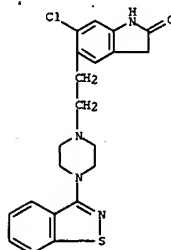
● H₂O

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 6 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909389-56-6 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, diacetate (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . 2 C2 H4 O2
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

CH 1

CRN 146939-27-7
 CHF C21 H21 Cl N4 O S



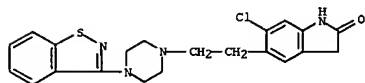
CH 2

CRN 64-19-7
 CHF C2 H4 O2



1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

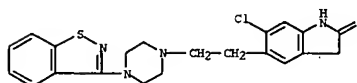
L4 ANSWER 7 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 909399-55-5 REGISTRY
 ED Entered STN: 03 Oct 2006
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrobromide (5:8) (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . 8/5 Br H
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL
 CRN (146939-27-7)



● 8/5 HBr

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 8 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 881169-56-8 REGISTRY
 ED Entered STN: 20 Apr 2006
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . Br H
 SR CA
 LC STN Files: CA, CAPLUS
 CRN (146939-27-7)



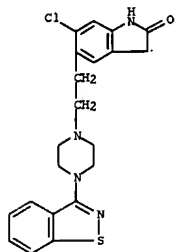
● HBr

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 9 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 881169-53-5 REGISTRY
 ED Entered STN: 20 Apr 2006
 CN 2H-indol-2-one, 5-[2-[(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C21 H21 Cl N4 O S . C4 H4 O4
 SR CA
 LC STN Files: CA, CAPLUS

CH 1

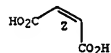
CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

CRN 110-16-7
 CMF C4 H4 O4

Double bond geometry as shown.

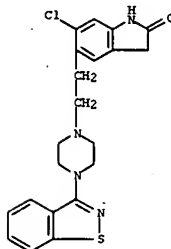


2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 10 OF 44 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 881169-52-4 REGISTRY
 ED Entered STN: 20 Apr 2006
 CN 2H-indol-2-one, 5-[2-[(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-, monoacetate (9CI) (CA INDEX NAME)
 MF C21 H21 Cl N4 O S . C2 H4 O2
 SR CA
 LC STN Files: CA, CAPLUS

CH 1

CRN 146939-27-7
 CMF C21 H21 Cl N4 O S



CH 2

CRN 64-19-7
 CMF C2 H4 O2



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL REGISTRY

=> SET TERMSET E#

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 386.40 | 386.61 |

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DICTIONARY FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

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=> SEL L4 1 RN

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E1 THROUGH E1 ASSIGNED

=> SET TERMSET LOGIN

SET COMMAND COMPLETED

=> FIL CAPLUS

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FILE LAST UPDATED: 5 Dec 2006 (20061205/ED)

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=> S L4

L5 594 L4

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=> s l5 and amorph?

268712 AMORPH?

L6 14 L5 AND AMORPH?

=> d l6 1-14 ibib abs hitstr

ACCESSION NUMBER: 2006:818149 CAPLUS

DOCUMENT NUMBER: 145:230653

TITLE: Drying process for the preparation of

amorphous ziprasidone mesylate from

ziprasidone mesylate dihydrate

INVENTOR(S): Aronhime, Judith; Mendelovici, Marioara; Levi,

Sigalit; Mainfeld, Alex; Gold, Amir

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva

Pharmaceuticals Usa, Inc.

SOURCE: PCT Int. Appl., 19pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2006086779 | A1 | 20060817 | WO 2006-US5114 | 20060213 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

PRIORITY APPLN. INFO.: US 2005-652356P P 20050211

AB A drying process for the preparation of amorphous ziprasidone mesylate from ziprasidone mesylate dihydrate is presented and pharmaceutical compns. containing amorphous ziprasidone mesylate are claimed.

IT 199191-70-3

RI: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent) (drying process for the preparation of amorphous ziprasidone mesylate from ziprasidone mesylate dihydrate)

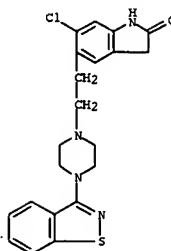
RN 199191-70-3 CAPLUS

CN 2H-Indol-2-one, 5-[2-[(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate, dihydrate (9CI) (CA INDEX NAME)

CH 1

CRN 146939-27-7

CMF C21 H21 Cl N4 O S



CH 2

CRN 75-75-2

CMF C H4 O3 S

IT 185021-64-1P. Ziprasidone mesylate
RI: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(drying process for the preparation of amorphous ziprasidone mesylate from ziprasidone mesylate dihydrate)

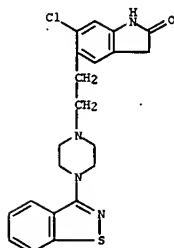
RN 185021-64-1 CAPLUS

CN 2H-Indol-2-one, 5-[2-[(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 146939-27-7

CMF C21 H21 Cl N4 O S



CH 2

CRN 75-75-2

CMF C H4 O3 S



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2006:817950 CAPLUS

DOCUMENT NUMBER: 145:235744

TITLE: Process of preparing ziprasidone mesylate

INVENTOR(S): Mainfeld, Alex; Gold, Amir; Mendelovici, Marioara

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva

Pharmaceuticals Usa, Inc.

SOURCE: PCT Int. Appl., 24pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2006086787 | A1 | 20060817 | WO 2006-US5188 | 20060213 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| US 2006258679 | A1 | 20061116 | US 2006-353304 | 20060213 |
| PRIORITY APPLN. INFO.: | | | US 2005-652294P | P 20050211 |
| | | | US 2005-652356P | P 20050211 |
| | | | US 2005-661687P | P 20050314 |
| | | | US 2005-689701P | P 20050609 |
| | | | US 2005-705762P | P 20050804 |
| | | | US 2006-762349P | P 20060125 |
| | | | US 2006-762695P | P 20060126 |

AB In one embodiment, the present invention provides a process of preparing amorphous ziprasidone comprising the step of spray-drying a solution of ziprasidone mesylate in a solvent selected from a group consisting of: C1-C5 alcs., C2-C8 ethers, glacial acetic acid and mixts. thereof with water, using an outlet temperature of above about 90°

Preferably the inlet temperature is above the outlet temperature. In another embodiment, the present invention provides a process of preparing ziprasidone mesylate crystal form characterized by x-ray powder diffraction peaks at 11.7, 17.3, 23.9, 24.2, and 25.2 degrees two-theta, ± 0.2 degrees

two-theta (herein defined as Form I) comprising the step of spray-drying a solution of ziprasidone mesylate in a solvent selected from a group consisting of: glacial acetic acid and mixts. thereof with C2-C8 ethers using an outlet temperature of above about 70 °C, and collecting the obtained Form I. Preferably the inlet temperature is above the outlet temperature. In another embodiment, the present invention provides a process of preparing ziprasidone mesylate crystal form characterized by x-ray powder diffraction peaks at 17.1, 18.7, 23.8, and 24.4 degrees two-theta, ± 0.2 degrees two-theta (herein defined as Form VIII) comprising the step of spray-drying a solution of ziprasidone mesylate in C1-C5 alcs. and mixts. thereof with water using an outlet temperature of from about 45 °C to about 70 °C. Preferably the inlet temperature is above the outlet temperature. For example, wet ziprasidone mesylate dihydrate needle crystals 3.8

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
g were dissolved in ethanol 80 mL and water 20 mL. The ziprasidone mesylate soln. was sprayed at a spray vol. of 440 mL/h into a chamber contg. a parallel flow of nitrogen heated to about 150 °C (flow rate of about 38 m3/h). The outlet temp. was maintained at about 90°. A fraction was collected and detd. to be amorphous ziprasidone mesylate, XRD.

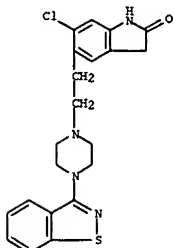
IT 185021-64-1P, Ziprasidone mesylate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process of preparing ziprasidone mesylate)

RN 185021-64-1 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 146939-27-7

CHF C21 H21 Cl N4 O S



CH 2

CRN 75-75-2

CHF C H4 O3 S



IT 199191-70-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(process of preparing ziprasidone mesylate)

RN 199191-70-3 CAPLUS

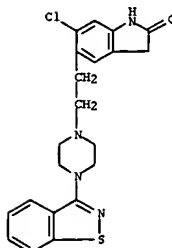
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate, dihydrate (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NAME)

CH 1

CRN 146939-27-7

CHF C21 H21 Cl N4 O S



CH 2

CRN 75-75-2

CHF C H4 O3 S



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1288708 CAPLUS

DOCUMENT NUMBER: 144:40787

TITLE: Pharmaceutical compositions with enhanced performance containing hydroxypropyl methyl cellulose derivatives
Babcock, Walter Christian; Friesen, Dwayne Thomas; Lyon, David Keith; Miller, Warren Kenyon; Smithey, Daniel Tod

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 73 pp.

CODEN: FIXXD2

Patent

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005115330 | A2 | 20051208 | WO 2005-1B1580 | 20050518 |
| WO 2005115330 | A3 | 20060706 | | |

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZH, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-575541P P 20040528
US 2004-586549P P 20040709

AB Disclosed are hydroxypropyl Me cellulose acetate succinate (HPMCAS) and hydroxypropyl Me cellulose acetate with unique degrees of substitution of hydroxypropoxy, methoxy, acetyl, and succinoyl groups. When used in making compns. comprising a low-solubility drug and such polymers, the polymers

provide enhanced aqueous concns. and/or improved phys. stability. A solid amorphous dispersion of 50% torcetrapib in 50% HPMCAS with varying degrees of substitution groups was prepared and spray dried. The in vivo release of the drugs in dogs showed that the composition provided enhanced drug

concentration and relative bioavailability relative to the amorphous drug

IT 146939-27-7

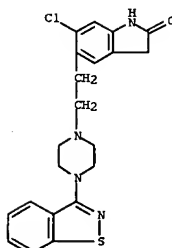
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. with enhanced performance containing hydroxypropyl

Me cellulose derivs.)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1224322 CAPLUS

DOCUMENT NUMBER: 143:483095

TITLE: Preparation of amorphous ziprasidone hydrochloride

INVENTOR(S): Zetina-Rocha, Carlos; Rey, Allan W.; Buck, Matthew A.; Derdour, Lotfi; Horne, Stephen E.; Murthy, Keshava K. S.

PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.

SOURCE: U.S. Pat. Appl. Publ., 6 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2005256139 | A1 | 20051117 | US 2004-884991 | 20040707 |
| CA 2467538 | AA | 20051114 | CA 2004-2467538 | 20040514 |
| WO 200511032 | A1 | 20051124 | WO 2004-CA981 | 20040707 |

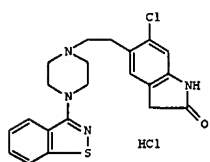
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, EG, KG, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CN, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

CA 2004-2467538 A 20040514

GI



AB The present invention relates to a new and useful amorphous form of ziprasidone hydrochloride (I). I amorphous form was prepared by treatment of the base in heptanes with HCl gas.

IT 122883-93-6P, Ziprasidone hydrochloride

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amorphous ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1154548 CAPLUS

DOCUMENT NUMBER: 143:427349

TITLE: Preparation of amorphous ziprasidone hydrochloride

INVENTOR(S): Tyagi, Om Dutt; Srivastava, Tushar Kumar; Chavan, Yuvraj Atmaram

PATENT ASSIGNEE(S): Lupin Limited, India

SOURCE: PCT Int. Appl., 10 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005100348 | A1 | 20051027 | WO 2005-IN115 | 20050415 |

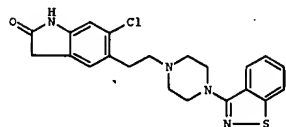
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, EG, KG, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CN, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

IN 2004-MU450 A 20040415

GI



AB A process for preparation of ziprasidone hydrochloride (I) which is in amorphous form. The process comprises providing a I solution in a mixture of alc. solvent and acetonitrile and spray drying the solution of I.

IT 122883-93-6, Ziprasidone hydrochloride

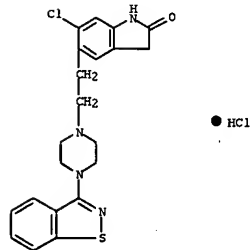
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(preparation of amorphous ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



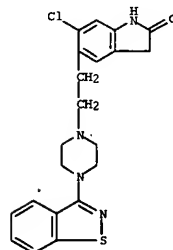
IT 146939-27-7, Ziprasidone

RL: RCT (Reactant); RACT (Reactant or reagent)

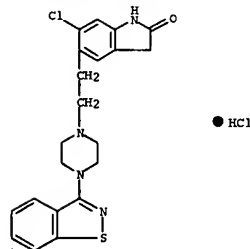
(preparation of amorphous ziprasidone hydrochloride)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:436706 CAPLUS
DOCUMENT NUMBER: 143:159548
TITLE: Donepezil formulations
INVENTOR(S): Boehm, Garth; Dundon, Josephine
PATENT ASSIGNEE(S): Alpharma, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005065645 | A2 | 20050721 | WO 2004-US42999 | 20041223 |
| WO 2005065645 | A3 | 20051027 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, HR, NE, SN, TD, TG | | | | |
| CA 2552221 | AA | 20050721 | CA 2004-2552221 | 20041223 |
| US 2005232990 | A1 | 20051020 | US 2004-22346 | 20041223 |
| PRIORITY APPLN. INFO.: US 2003-533496P P 20031231 WO 2004-US42999 W 20041223 | | | | |

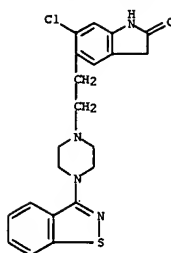
AB Donepezil formulations, including amorphous donepezil or pharmaceutically acceptable salts thereof; sustained-release formulations; and donepezil sprinkle formulations are disclosed.

IT 146939-27-7, Ziprasidone
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(donepezil formulations)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:493702 CAPLUS
DOCUMENT NUMBER: 141:54361
TITLE: Polymorphic forms of ziprasidone and its hydrochloride
INVENTOR(S): Reddy, Hanne Satyanarayanan; Srinivasan, Thirumalai Rajan; Uppala, Venka Bhaskara Rao; Venkatesh, Mummad; Prabhakar, Akundi Surya
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories Inc.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004050655 | A1 | 20040617 | WO 2003-US38489 | 20031204 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, HR, NE, SN, TD, TG | | | | |
| AU 2003300814 | A1 | 20040623 | AU 2003-300814 | 20031204 |
| US 2004152711 | A1 | 20040805 | US 2003-729837 | 20031204 |
| PRIORITY APPLN. INFO.: IN 2002-MA507 A 20021204 WO 2003-US38489 W 20031204 | | | | |

AB The present invention is related to crystalline forms of ziprasidone and its hydrochloride salt and an amorphous form of ziprasidone hydrochloride and the process for the preparation thereof. The crystalline forms

and amorphous form of the invention are suitable for pharmaceutical purposes in the treatment of psychosis. The processes of the invention are simple, non-hazardous and com. suitable. Thus, 50 g 5-(2-chloroethyl)-6-chloroindole, 47.5 g 3-(1-piperazinyl)-1,2-benzisothiazole and 500 mL cyclohexane were charged into an autoclave, followed by adding sodium carbonate 46, sodium iodide 3.2, and tetrabutylphosphonium bromide 14.8 g and the reaction mixture was maintained at 95-102° and 2.5 kg/cm² till the reaction was completed, cooled to 300°, treated with 250 mL H₂O, filtered to give, after washing with 100 mL water, the wet compound. The wet compound was suspended in

water, filtered, washed water, resuspended in acetone, filtered, washed with acetone, filtered, and dried at 60-65° to give 65.7 g ziprasidone base. Ziprasidone (5 g) and 50 mL acetic acid were placed into a round bottom flask and heated to 45-50°, treated slowly with 25 mL aqueous HCl over 20 min, refluxed, and treated with 10 mL water, followed by

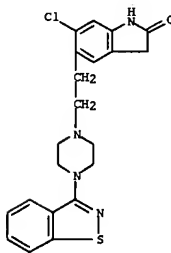
addition of 50 mL isopropanol. The reaction mass was cooled to 50°, followed by distilling off the solvent completely under vacuum, to give amorphous form of ziprasidone hydrochloride.

IT 146939-27-7P, Ziprasidone
RL: PAC (Pharmacological activity); PYP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(X-ray diffraction anal.; prepn. of polymorphic forms of ziprasidone and its hydrochloride)

RN 146939-27-7 CAPLUS

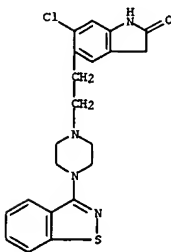
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)



IT 122883-93-6P, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of polymorphic forms of ziprasidone and its hydrochloride)

RN 122883-93-6 CAPLUS

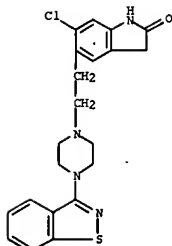
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2004:142933 CAPLUS
 DOCUMENT NUMBER: 140:187356
 TITLE: Pharmaceutical compositions of semi-ordered drugs and polymers
 INVENTOR(S): Babcock, Walter Christian; Caldwell, William Brett; Crew, Marshall David; Friesen, Dwayne Thomas; Smithey, Daniel Tod; Shanker, Ravi Mysore
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 117 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|-----------------|------------|
| WO 2004014342 | A1 | 20040219 | WO 2003-1B3465 | 20030731 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2496441 | A1 | 20040219 | CA 2003-249641 | 20030731 |
| AU 2003249474 | A1 | 20040225 | AU 2003-249474 | 20030731 |
| EP 1530457 | A1 | 20050518 | EP 2003-784384 | 20030731 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003013428 | A | 20050628 | BR 2003-13428 | 20030731 |
| CN 1681479 | A | 20051012 | CN 2003-821348 | 20030731 |
| JP 2006500349 | T2 | 20060105 | JP 2004-527196 | 20030731 |
| US 2004156905 | A1 | 20040812 | US 2003-636834 | 20030805 |
| NO 2005000419 | A | 20050404 | NO 2005-419 | 20050125 |
| PRIORITY APPLN. INFO.: | | | US 2002-403087P | P 20020812 |
| | | | WO 2003-1B3465 | W 20030731 |
| AB | A solid composition of a low-solubility drug and a concentration-enhancing polymer has a portion of the drug in a semi-ordered state. A dispersion contained (*)-N-[3-[3-(4-fluorophenoxy)phenyl]-2-cyclopenten-1-yl]-N-hydroxyurea (I) 0.25, HPMC 0.25, acetone 49.75, and methanol 49.75%, was spray-dried. The resulting solid amorphous spray-dried dispersion was collected, dried under vacuum, and stored in a desiccator. The solid amorphous dispersion was in the form of small particles having an average diameter of about 1.5 µm, but with a broad distribution of particle sizes. After drying, the solid amorphous dispersion contained 50 wt% I. The glass transition temperature of this spray-dried dispersion as a function of relative humidity was determined | | | |
| IT | 146939-27-7, Ziprasidone RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. of semi-ordered drugs and polymers) | | | |
| RN | 146939-27-7 CAPLUS | | | |
| CN | 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME) | | | |

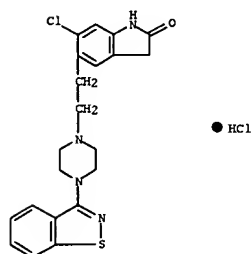


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

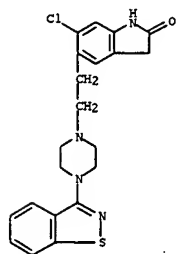
ACCESSION NUMBER: 2003:610236 CAPLUS
 DOCUMENT NUMBER: 139:154927
 TITLE: Pharmaceutical compositions of amorphous dispersions of drugs and lipophilic microphase-forming materials
 INVENTOR(S): Perlman, Michael Ellis; Shanker, Ravi Mysore; Babcock, Walter Christian; Friesen, Dwayne Thomas; Rabenstein, Mark David; Smithey, Daniel Tod
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 89 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|-----------------|------------|
| WO 2003063833 | A1 | 20030807 | WO 2003-1B335 | 20030128 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2474838 | A1 | 20030807 | CA 2003-2474838 | 20030128 |
| EP 1469832 | A1 | 20041027 | EP 2003-700435 | 20030128 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003007344 | A | 20041214 | BR 2003-7344 | 20030128 |
| JP 2005523262 | T2 | 20050804 | JP 2003-563527 | 20030128 |
| US 2003228358 | A1 | 20031211 | US 2003-355747 | 20030131 |
| PRIORITY APPLN. INFO.: | | | US 2002-354081P | P 20020201 |
| | | | WO 2003-1B335 | W 20030128 |
| AB | A pharmaceutical composition comprises a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer and a lipophilic microphase-forming material. Alternatively, a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer is co-administered with a lipophilic microphase-forming material to an in vivo use environment. A spray solution was formed containing 2.5 wt% drug, 7.5 wt% HPMCAS-HF, and 90% acetone. The solution was spray-dried by directing a 2-fluid external-mix spray nozzle at 2.7 bar with a feed rate of 190 g/min into the stainless-steel chamber of a spray-dryer, by using nitrogen as the drying gas, maintained at a temperature of 137° at the inlet; the drying gas and evaporated solvent exited the drier at 49°. The resulting solid amorphous dispersion was collected and then dried in a solvent tray-drier by spreading the spray-dried particles onto polyethylene-lined trays to a depth of not more than 1 cm and then drying them at 40° for 25 h. After drying, dispersion 1 contained 25 wt% drug | | | |
| IT | 122893-93-6, Ziprasidone hydrochloride 146939-27-7, Ziprasidone RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. of amorphous dispersions of drugs and lipophilic microphase-forming materials) | | | |

L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RN 122883-93-5 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



RN 146939-27-7 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)



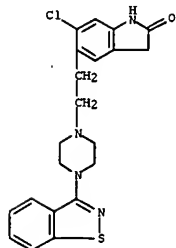
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (comps. contg. poorly-sol. drug/matrix solid dispersion and soly.-enhancing polymer)

RN 185021-64-1 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 146939-27-7
 CHF C21 H21 Cl N4 O S



CH 2

CRN 75-75-2
 CHF C H4 O3 S



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:5811 CAPLUS
 DOCUMENT NUMBER: 138:78458
 TITLE: Pharmaceutical compositions containing a solid dispersion of a poorly-soluble drug in a matrix and a solubility-enhancing polymer
 INVENTOR(S): Babcock, Walter Christian; Curatolo, William John; Friesen, Dwayne Thomas; Ketner, Rodney James; Lo, Julian Belknap; Nightingale, James Alan Schriver; Shanker, Ravi Mysore; West, James Blair
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 212 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003000294 | A1 | 20030103 | WO 2002-1B1800 | 20020513 |
| WO 2003000294 | C1 | 20031106 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2448864 | AA | 20030103 | CA 2002-2448864 | 20020513 |
| AU 2002304387 | A1 | 20030108 | AU 2002-304387 | 20020513 |
| EP 1401503 | A1 | 20040331 | EP 2002-733019 | 20020513 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| BR 2002010520 | A | 20040622 | BR 2002-10520 | 20020513 |
| JP 2005500313 | T2 | 20050106 | JP 2003-506936 | 20020513 |
| US 2003104063 | A1 | 20030605 | US 2002-175640 | 20020619 |
| PRIORITY APPLN. INFO.: | | | US 2001-300261P | P 20010622 |
| | | | WO 2002-1B1800 | W 20020513 |

AB A pharmaceutical composition comprises a dispersion containing a low-solubility drug and a matrix combined with a concentration-enhancing polymer. At least a major portion of the drug is amorphous in the dispersion. The comps. improve the stability of the drug in the dispersion, and/or the concentration of drug in a use environment. For example, a solid drug/matrix dispersion comprised of 10% 3,5-dimethyl-4-(3'-pentoxyl)-2-(2',4',6'-trimethylphenoxy)pyridine and 90% polyethylene glycol was prepared by a melt-congeal process. The solid drug/matrix dispersion was then combined with the concentration-enhancing polymer hydroxypropyl Me cellulose acetate succinate (HPMCAS). Addition of HPMCAS increased maximum concentration of drug in solution during the first 90 min (Cmax90) and the area under the aqueous concentration vs. time curve after 90 min (AUC90) by 1.12-fold and 1.19-fold, resp., compared to the solid drug/matrix dispersion with no concentration-enhancing polymer and by 2.38-fold and 2.25-fold, resp., compared to pure drug.

IT 185021-64-1

L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:5760 CAPLUS
 DOCUMENT NUMBER: 138:78451
 TITLE: Pharmaceutical compositions of adsorbates of amorphous drug
 INVENTOR(S): Babcock, Walter Christian; Friesen, Dwayne Thomas; Shanker, Ravi Mysore; Smthey, Daniel Tod; Tadday, Ralph
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 218 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003000238 | A1 | 20030103 | WO 2002-1B1792 | 20020521 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2448825 | AA | 20030103 | CA 2002-2448825 | 20020521 |
| EP 1404302 | A1 | 20040407 | EP 2002-730596 | 20020521 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| EE 200400034 | A | 20040615 | EE 2004-34 | 20020521 |
| BR 2002010519 | A | 20040622 | BR 2002-10519 | 20020521 |
| CN 1523979 | A | 20040825 | CN 2002-812503 | 20020521 |
| HU 200400281 | A2 | 20040830 | HU 2004-281 | 20020521 |
| JP 2005501820 | T2 | 20050120 | JP 2003-506885 | 20020521 |
| NZ 529490 | A | 20050826 | NZ 2002-529490 | 20020521 |
| US 2003054037 | A1 | 20030320 | US 2002-173987 | 20020617 |
| ZA 2003008735 | A | 20040915 | ZA 2003-8735 | 20031110 |
| BG 108489 | A | 20040730 | BG 2003-108489 | 20031222 |
| PRIORITY APPLN. INFO.: | | | US 2001-300260P | P 20010622 |
| | | | WO 2002-1B1792 | W 20020521 |

AB Pharmaceutical comps. comprise a low-solubility drug adsorbed onto a high surface area substrate to form an adsorbate. The comps. in some embodiments include a concentration-enhancing polymer. A drug/substrate adsorbate comprising quinoxaline-2-carboxylic acid(4(R)-carbamoyl-1(S)-3-fluorobenzyl-2(S), 7-dihydroxy-7-methyl-octyl)amide 10, and zinc oxide 90% (the substrate) was prepared. The Cmax,90 provided by the above adsorbate was 3.3-fold that of the crystalline control, while the AUC90 was 2.6-fold that of the control.

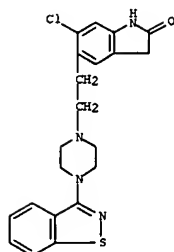
IT 185021-64-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical comps. of adsorbates of amorphous drug)

RN 185021-64-1 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 146939-27-7



CM 2

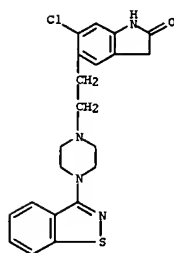
CRN 75-75-2
 CNF C H4 O3 S



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

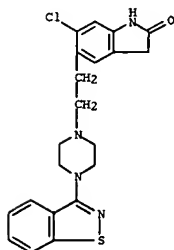
L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:5750 CAPLUS
 DOCUMENT NUMBER: 138:78446
 TITLE: Pharmaceutical compositions containing polymer and drug assemblies
 INVENTOR(S): Babcock, Walter Christian; Crew, Marshall David; Friesen, Dwayne Thomas; Rabenstein, Mark David; Smithey, Daniel Tod; Shanker, Ravi Mysore
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 257 pp.
 CODEN: PIXXD2
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2003000226 | A2 | 20030103 | WO 2002-1B2256 | 20020617 |
| WO 2003000226 | A3 | 20031023 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2450748 | AA | 20030103 | CA 2002-2450748 | 20020617 |
| AU 2002309172 | A1 | 20030108 | AU 2002-309172 | 20020617 |
| US 2003170309 | A1 | 20030911 | US 2002-173945 | 20020617 |
| EP 1401399 | A2 | 20040331 | EP 2002-735849 | 20020617 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002011028 | A | 20040615 | BR 2002-11028 | 20020617 |
| JP 2004534811 | T2 | 20041118 | JP 2003-506873 | 20020617 |
| PRIORITY APPLN. INFO.: US 2001-300259P P 20010622 WO 2002-1B2256 W 20020617 | | | | |
| AB Solns. containing polymer/drug assemblies of a low-solubility drug and an amphiphilic polymer are disclosed. In addition, solid aggregated polymer/drug assemblies are disclosed comprising a low-solubility drug and polymer. For example, amorphous solid dispersions of the low-solubility drug 5-chloro-1H-indole-2-carboxylic acid [(1S)-benzyl-3-((3R,4S)-dihydroxypropylidene-1-yl)-(2R)-hydroxy-3-oxypropyl]amide and the amphiphilic polymer hydroxypropyl Me cellulose acetate succinate were prepared. When no drug was present, small particles about 10-20 nm in size were present due to aggregation of the polymer (HPMCAS-MF) with itself, likely as a result of its amphiphilicity, which renders the polymer only sparingly water soluble. For solns. containing drug solid dispersions, particles were present with an average size of about 80 nm. This demonstrates the formation of polymer/drug assemblies in solution. | | | | |
| IT 146939-27-7, Ziprasidone 185021-64-1, Ziprasidone mesylate RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (compos. containing amphiphilic polymer and low-solubility drug assemblies) | | | | |



CM 1

CRN 146939-27-7
 CNF C21 H21 Cl N4 O 5



CM 2

CRN 75-75-2
 CNF C H4 O3 S



L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:573516 CAPLUS
DOCUMENT NUMBER: 133:168404
TITLE: Osmotic system for delivery of solid amorphous dispersions of drugs
INVENTOR(S): Appel, Leah Elizabeth; Curatolo, William John; Herbig, Scott Max; Nightingale, James Alan; Schriver, Thombre, Avinash Govind
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

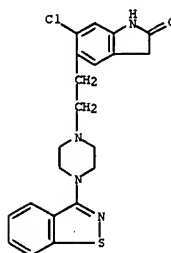
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| EP 1027888 | A2 | 20000816 | EP 2000-300572 | 20000126 |
| EP 1027888 | A3 | 20010228 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| US 6706283 | B1 | 20040316 | US 2000-495061 | 20000131 |
| CA 2298238 | AA | 20000810 | CA 2000-2298238 | 20000209 |
| CA 2298238 | C | 20051025 | | |
| JP 2000229846 | A2 | 20000822 | JP 2000-33132 | 20000210 |
| BR 2000000359 | A | 20010821 | BR 2000-358 | 20000210 |
| US 2004175428 | A1 | 20040909 | US 2004-799536 | 20040311 |
| PRIORITY APPLN. INFO.: | | | US 1999-119406P | P 19990210 |
| | | | US 2000-495061 | A1 20000131 |

AB Controlled release dosage forms for low solubility drugs comprise an amorphous solid dispersion of the drug coated with a non-dissolving and non-eroding coating that controls the influx of water to the core so as to cause extrusion of a portion of the core, as well as a method of treating a disease or disorder comprising administering such dosage form to a person. A solid dispersion was prepared from [R-(R*,S*)]-5-chloro-N-[2-hydroxy-3-(methoxymethylamino-3-oxo-1-(phenylmethyl)propyl)propyl]-1H-indole-2-carboxamide (a glycogen phosphorylase inhibitor) and hydroxypropyl Me cellulose acetate succinate.

IT 146939-27-7, Ziprasidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(osmotic system for delivery of solid amorphous dispersions of drugs)

RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:573515 CAPLUS
DOCUMENT NUMBER: 133:182970
TITLE: Matrix controlled release device for a low-solubility drug
INVENTOR(S): Appel, Leah Elizabeth; Friesen, Dwayne Thomas; Curatolo, William John; Nightingale, James Alan; Schriver, Thombre, Avinash Govind
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

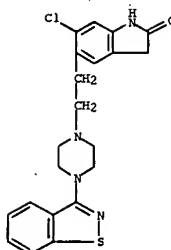
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| EP 1027887 | A2 | 20000816 | EP 2000-300546 | 20000126 |
| EP 1027887 | A3 | 20010228 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CA 2298245 | C | 20041130 | CA 2000-2298245 | 20000209 |
| JP 2000229888 | A2 | 20000822 | JP 2000-33446 | 20000210 |
| BR 2000000359 | A | 20010814 | BR 2000-359 | 20000210 |
| JP 2005320354 | A2 | 20051117 | JP 2005-226695 | 20050804 |
| PRIORITY APPLN. INFO.: | | | US 1999-119400P | P 19990210 |
| | | | JP 2000-33446 | A3 20000210 |

AB Disclosed are a controlled release dosage form for a low solubility drug that is a spray-dried or spray-coated amorphous solid dispersion of the drug in an ionizable cellulosic polymer matrix that is in turn incorporated into a secondary erodible polymeric matrix and a method of treating a disease or disorder comprising administering such a dosage form. A batch of solid dispersion was prepared by spray-drying a solution containing drug 5-chloro-1H-indole-2-carboxylic acid [(1S-benzyl-3-(3R,4S)-dihydroxypyrrolidin-1-yl)-(2R)-hydroxy-3-oxopropyl]amide (water solubility 80 µg/mL) in acetone together with hydroxypropyl Me cellulose acetate succinate. The resulting solid dispersion was mixed with hydroxypropyl Me cellulose, lactose, and Mg stearate. The mixture was finally compressed to give tablets.

IT 146939-27-7, Ziprasidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cellulosic polymer and pH-sensitive polymer matrices for solid dispersion of low-solubility drugs)

RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



=> s913329-18-7/rn

S913329-18-7 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

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0 913329-18-7D

L7

1 913329-18-7/RN

(913329-18-7 (NOTL) 913329-18-7D)

=> d 17

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2006:1157390 CAPLUS
 DN 145:449263
 TI Use of metformin to counteract weight gain associated with aripiprazole or
 ziprasidone treatment
 IN Cottingham, Elizabeth M.
 PA Enc Research, LLC, USA
 SO PCT Int. Appl., 17pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| PI WO 2006116470 | A1 | 20061102 | WO 2006-US15764 | 20060425 |
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RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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1 913329-18-7

0 913329-18-7D

L8

1 913329-18-7/RN

(913329-18-7 (NOTL) 913329-18-7D)

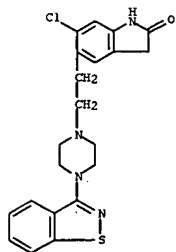
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L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
 IT 913329-18-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (metformin to counteract weight gain associated with aripiprazole or
 ziprasidone treatment)
 RN 913329-18-7 CAPLUS
 CN Imidodicarbonimidic diamide, N,N-dimethyl-, monohydrochloride, mixt. with
 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-
 dihydro-2H-indol-2-one (9CI) (CA INDEX NAME)

CH 1

CRN 146939-27-7

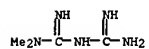
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CH 2

CRN 1115-70-4

CMF C4 H11 N5 . Cl H



● HCl

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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491.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-10.50

-10.50

FILE 'REGISTRY' ENTERED AT 19:30:39 ON 06 DEC 2006

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STRUCTURE FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

DICTIONARY FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

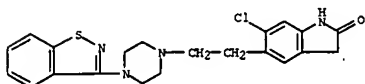
<http://www.cas.org/ONLINE/UG/regprops.html>

=> s ziprasidon?

L9 10 ZIPRASIDON?

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L9 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 864175-99-5 REGISTRY
 ED Entered STN: 29 Sep 2005
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride, hydrate (2:1) (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN Ziprasidone hydrochloride hemihydrate
 MF C21 H21 Cl N4 O S . Cl H . 1/2 H2 O
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL
 CRN (146939-27-7)



● HCl

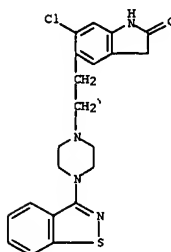
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4 REFERENCES IN FILE CA (1907 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 199522-95-7 REGISTRY
 ED Entered STN: 09 Jan 1998
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN Ziprasidone tosylate
 MF C21 H21 Cl N4 O S . C7 H9 O3 S
 SR CA
 LC STN Files: CA, CAPLUS, IMPATENTS, IMSRESEARCH, TOXCENTER, USPAT2, USPATFULL

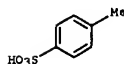
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CH 2

CRN 104-15-4
 CMF C7 H9 O3 S

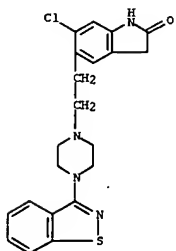


4 REFERENCES IN FILE CA (1907 TO DATE)
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 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 199191-69-0 REGISTRY
 ED Entered STN: 31 Dec 1997
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monomethanesulfonate, trihydrate (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN Ziprasidone mesylate hydrate
 MF C21 H21 Cl N4 O S . C H4 O3 S . 3 H2 O
 SR CA
 LC STN Files: BIOTECHNO, CA, CAPLUS, CHEMCATS, EMBASE, IMPATENTS, IMSRESEARCH, REECS*, USAN, USPATFULL
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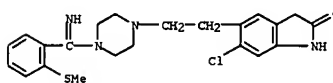
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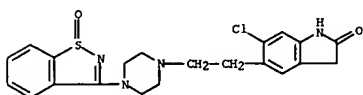
L9 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 194280-91-6 REGISTRY
 ED Entered STN: 19 Sep 1997
 CN Piperazine, 1-[2-(6-chloro-2,3-dihydro-2-oxo-1H-indol-5-yl)ethyl]-4-[imino[2-(methylthio)phenyl]methyl]- (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN S-Methyldihydroziprasidone
 MF C22 H25 Cl N4 O S
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER



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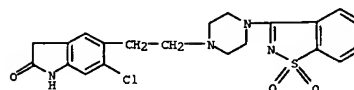
L9 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 188797-80-0 REGISTRY
 ED Entered STN: 05 May 1997
 CN 2H-Indol-2-one, 6-chloro-1,3-dihydro-5-[2-[4-(1-oxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN Ziprasidone sulfone
 MF C21 H21 Cl N4 O2 S
 SR CA
 LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPATFULL



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5 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 188797-77-5 REGISTRY
 ED Entered STN: 06 May 1997
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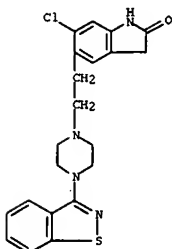
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 RN 185021-64-1 REGISTRY
 ED Entered STN: 15 Jan 1997
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 OTHER NAMES:
 CN CP 88059-27
 CN Zeldox IM
 CN Ziprasidone mesylate
 MF C21 H21 Cl N4 O S . C H4 O3 S
 CI COM
 SR CAS Client Services
 LC STN Files: BIOSIS, CA, CAPLUS, CHEMCATS, IMSPATENTS, IMSRESEARCH, IPA, PATDPASPC, PS, TOXCENTER, USPAT2, USPATFULL

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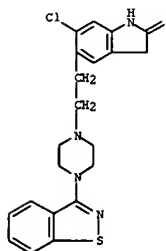
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CRN 75-75-2
 CNF C H4 O3 S



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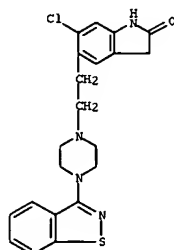
L9 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 146939-27-7 REGISTRY
 ED Entered STN: 13 Apr 1993
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 OTHER NAMES:
 CN 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one
 CN 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-2-indolinone
 CN 5-[2-[4-(Benzo[d]isothiazol-3-yl)piperazin-1-yl]ethyl]-6-chloro-1,3-dihydroindol-2-one
 CN CP 88059
 CN Geodon
 CN Ziprasidone
 CN Ziprasidone
 MF C21 H21 Cl N4 O S
 CI COM
 SR World Health Organization (WHO)
 LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, CA, CAPLUS, CASREACT, CEMB, CHEMCATS, CIN, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK, PATDPASPC, PHAR, PROMT, PROUSDDR, PS, RTECS, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 Other Sources: WHO



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 10 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 566 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 138962-67-9 REGISTRY
 ED Entered STN: 14 Feb 1992
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride monohydrate
 CN Ziprasidone hydrochloride monohydrate
 CN Ziprasidone monohydrochloride monohydrate
 MF C21 H21 Cl N4 O S . Cl H . H2 O
 SR US Adopted Names Council (USAN)
 LC STN Files: BIOTECHNO, CA, CAPLUS, CASREACT, CHEMCATS, EMBASE, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PATDPASPC, PROUSDDR, PS, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 CRN (146939-27-7)



• HCl

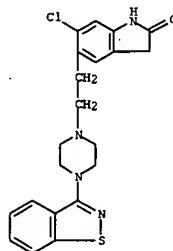
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PAGE 1-A

PAGE 2-A

14 REFERENCES IN FILE CA (1907 TO DATE)
 14 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 122893-93-6 REGISTRY
 ED Entered STN: 29 Sep 1989
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride
 CP 88059-1
 CN Zeldox
 CN Ziprasidone hydrochloride
 DR 152287-06-4, 118289-78-4
 MF C21 H21 Cl N4 O S . Cl H
 SR CA
 LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CHEMCATS, CIN, EMBASE, IMSPATENTS, IMSRESEARCH, MRCK*, PATDPASPC, PHAR, PROMT, PROUSDDR, PS, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 CRN (146939-27-7)



• HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

61 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 61 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL REGISTRY

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| | 0.00 | -10.50 |

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STRUCTURE FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5
DICTIONARY FILE UPDATES: 5 DEC 2006 HIGHEST RN 914910-45-5

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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<http://www.cas.org/ONLINE/UG/regprops.html>

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=> SEL L9 10 RN

E1 THROUGH E1 ASSIGNED

=> S E1/RN

L10 1 122883-93-6/RN

=> SET TERMSET LOGIN

SET COMMAND COMPLETED

=> FIL CAPLUS

| | | |
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| CA SUBSCRIBER PRICE | 0.00 | -10.50 |

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FILE COVERS 1907 - 6 Dec 2006 VOL 145 ISS 24
 FILE LAST UPDATED: 5 Dec 2006 (20061205/ED)

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=> S L10

L11 61 L10

=> s l11 and amorph?
 268712 AMORPH?

L12 4 L11 AND AMORPH?

=> d l12 1-4 ibib abs hitstr

L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1224322 CAPLUS

DOCUMENT NUMBER: 143:483095

TITLE: Preparation of amorphous ziprasidone hydrochloride

INVENTOR(S): Zetina-Rocha, Carlos; Rey, Allan W.; Buck, Matthew A.; Dardour, Lotfi; Horne, Stephen E.; Murthy, Keshava K. S.

PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.

SOURCE: U.S. Pat. Appl. Publ., 6 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

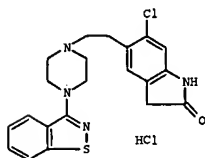
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2005256139 | A1 | 20051117 | US 2004-884991 | 20040707 |
| CA 2467538 | AA | 20051114 | CA 2004-2467538 | 20040514 |
| WO 2005111032 | A1 | 20051124 | WO 2004-CA981 | 20040707 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: CA 2004-2467538 A 20040514

GI



I

AB The present invention relates to a new and useful amorphous form of ziprasidone hydrochloride (I). I amorphous form was prepared by treatment of the base in heptanes with HCl gas.

IT 122883-93-6P, Ziprasidone hydrochloride

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amorphous ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1154548 CAPLUS

DOCUMENT NUMBER: 143:427349

TITLE: Preparation of amorphous ziprasidone hydrochloride

INVENTOR(S): Tyagi, Om Dutt; Srivastava, Tushar Kumar; Chavan, Yuvraj Atmaram

PATENT ASSIGNEE(S): Lupin Limited, India

SOURCE: PCT Int. Appl., 10 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

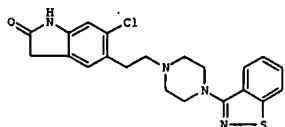
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005100348 | A1 | 20051027 | WO 2005-IN115 | 20050415 |

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PRIORITY APPLN. INFO.: IN 2004-MU450 A 20040415

GI



9 HCl

I

AB A process for preparation of ziprasidone hydrochloride (I) which is in amorphous form. The process comprises providing a I solution in a mixture of alc. solvent and acetonitrile and spray drying the solution of I.

IT 122883-93-6, Ziprasidone hydrochloride

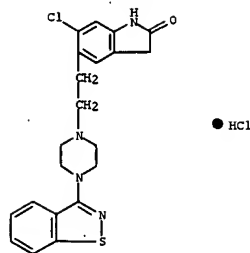
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(preparation of amorphous ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS

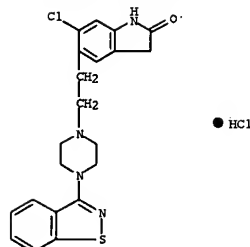
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:453702 CAPLUS

DOCUMENT NUMBER: 14154361
TITLE: Polymorphic forms of ziprasidone and its hydrochloride
INVENTOR(S): Reddy, Manne Satyanarayana; Srinivasan, Thirumalai
Rajan; Uppala, Venka Bhaskara Rao; Venkatesh, Mummadi;
Prabhakar, Akundi Surya
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's
Laboratories Inc.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004050655 | A1 | 20040617 | WO 2003-US38489 | 20031204 |
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| AU 2003300811 | A1 | 20040623 | AU 2003-300814 | 20031204 |
| US 2004152711 | A1 | 20040805 | US 2003-729837 | 20031204 |
| PRIORITY APPLN. INFO.: | | | IN 2002-MA907 | A 20021204 |
| | | | WO 2003-US38489 | W 20031204 |

AB The present invention is related to crystalline forms of ziprasidone and its hydrochloride salt and an amorphous form of ziprasidone hydrochloride and the process for the preparation thereof. The crystalline forms

and amorphous form of the invention are suitable for pharmaceutical purposes in the treatment of psychosis. The processes of the invention are simple, non-hazardous and com. suitable. Thus, 50 g 5-(2-chloroethyl)-6-chloroindole, 47.5 g 3-(1-piperazinyl)-1,2-benzisothiazole and 500 mL cyclohexane were charged into an autoclave, followed by adding sodium carbonate 46, sodium iodide 3.2, and tetrabutylphosphonium bromide 14.8 g and the reaction mixture was maintained at 95-102° and 2.5 kg/cm² till the reaction was completed, cooled to 300°, treated with 250 mL H₂O, filtered to give, after washing with 100 mL water, the wet compound. The wet compound was suspended in water,

filtered, washed water, resuspended in acetone, filtered, washed with acetone, filtered, and dried at 60-65° to give 65.7 g ziprasidone base. Ziprasidone (5 g) and 50 mL acetic acid were placed into a round bottom flask and heated to 45-50°, treated slowly with 25 mL aqueous HCl over 20 min, refluxed, and treated with 10 mL water, followed by addition

of 50 mL isopropanol. The reaction mass was cooled to 50°, followed by distilling off the solvent completely under vacuum, to give amorphous form of ziprasidone hydrochloride.
IT 122883-93-6P, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:610236 CAPLUS

DOCUMENT NUMBER: 139:154927
TITLE: Pharmaceutical compositions of amorphous dispersions of drugs and lipophilic microphase-forming materials
INVENTOR(S): Perlman, Michael Ellis; Shanker, Ravi Mysore; Babcock, Walter Christian; Friesen, Dwayne Thomas; Rabenstein, Mark David; Smithey, Daniel Tod
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003063833 | A1 | 20030807 | WO 2003-1B335 | 20030128 |
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| CA 2474838 | AA | 20030807 | CA 2003-2474838 | 20030128 |
| EP 1469832 | A1 | 20041027 | EP 2003-700435 | 20030128 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003007344 | A | 20041214 | BR 2003-7344 | 20030128 |
| JP 2005523262 | T2 | 20050804 | JP 2003-563527 | 20030128 |
| US 2003228358 | A1 | 20031211 | US 2003-355747 | 20030131 |
| PRIORITY APPLN. INFO.: | | | US 2002-354081P | P 20020201 |
| | | | WO 2003-1B335 | W 20030128 |

AB A pharmaceutical composition comprises a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer and a lipophilic microphase-forming material. Alternatively, a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer is co-administered with a lipophilic microphase-forming material to an in vivo use environment. A spray solution was formed containing 2.5 wt% drug, 7.5 wt% HPMCAS-HF, and 90% acetone. The solution was spray-dried by directing a 2-fluid external-mix spray nozzle at 2.7 bar with a feed rate of 150 g/min into the stainless-steel chamber of a spray-dryer, by using nitrogen as the drying gas, maintained at a temperature

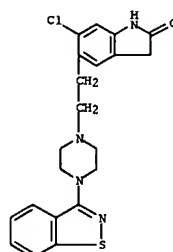
of 137° at the inlet; the drying gas and evaporated solvent exited the drier at 49°. The resulting solid amorphous dispersion was collected and then dried in a solvent tray-drier by spreading the spray-dried particles onto polyethylene-lined trays to a depth of not more than 1 cm and then drying them at 40° for 25 h. After drying, dispersion 1 contained 25 wt% drug.

IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. of amorphous dispersions of drugs and lipophilic microphase-forming materials)

RN 122883-93-6 CAPLUS

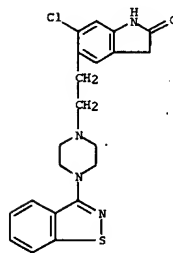
L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of polymorphic forms of ziprasidone and its hydrochloride)

RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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STN INTERNATIONAL LOGOFF AT 19:44:24 ON 06 DEC 2006

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Connecting via Winsock to STN

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| NEWS 16 | OCT 23 | The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded |
| NEWS 17 | OCT 30 | CHEMLIST enhanced with new search and display field |
| NEWS 18 | NOV 03 | JAPIO enhanced with IPC 8 features and functionality |
| NEWS 19 | NOV 10 | CA/CAPLUS F-Term thesaurus enhanced |
| NEWS 20 | NOV 10 | STN Express with Discover! free maintenance release Version 8.01c now available |
| NEWS 21 | NOV 13 | CA/CAPLUS pre-1967 chemical substance index entries enhanced with preparation role |
| NEWS 22 | NOV 20 | CAS Registry Number crossover limit increased to 300,000 in additional databases |
| NEWS 23 | NOV 20 | CA/CAPLUS to MARPAT accession number crossover limit increased to 50,000 |
| NEWS 24 | NOV 20 | CA/CAPLUS patent kind codes will be updated |
| NEWS 25 | DEC 01 | CAS REGISTRY updated with new ambiguity codes |
| | | |
| NEWS EXPRESS | NOVEMBER 10 | CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006. |
| | | |
| NEWS HOURS | | STN Operating Hours Plus Help Desk Availability |
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| NEWS IPC8 | | For general information regarding STN implementation of IPC 8 |
| NEWS X25 | | X.25 communication option no longer available |

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FILE COVERS 1907 - 10 Dec 2006 VOL 145 ISS 25
FILE LAST UPDATED: 8 Dec 2006 (20061208/ED)

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Connection closed by remote host

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

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PASSWORD:

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COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
COST IN U.S. DOLLARS

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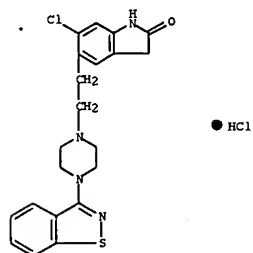
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L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STM
 RN 122893-93-6 REGISTRY
 ED Entered STM: 29 Sep 1989
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride
 CN CP 88059-1
 CN Zeldox
 CN Ziprasidone hydrochloride
 DR 152287-06-4, 118289-78-4
 MF C21 H21 Cl N4 O S . Cl H
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 LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CHEMCATS, CIN, EMBASE, IMSPATENTS, IMSRESEARCH, MRCK*, PATDPASPC, PHAR, PROMT, PROUSDDR, P5, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 CRN (146939-27-7)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

61 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 61 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus
COST IN U.S. DOLLARS

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |
| 3.66 | 4.33 |

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 21:40:42 ON 10 DEC 2006
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FILE COVERS 1907 - 10 Dec 2006 VOL 145 ISS 25
FILE LAST UPDATED: 8 Dec 2006 (20061208/ED)

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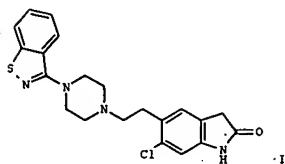
=> s l2 and ?morph?
61 L2
1149877 ?MORPH?
L3 10 L2 AND ?MORPH?

=> d l3 1-10 ibib abs hitstr

L3 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1313982 CAPLUS
DOCUMENT NUMBER: 144:57359
TITLE: Preparation of an anhydrate form of ziprasidone hydrochloride
INVENTOR(S): Zetina-Rocha, Carlos; Rey, Allan W.; Horne, Stephen E.
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 4 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2005277651 | A1 | 20051215 | US 2004-928139 | 20040830 |
| US 7087611 | B2 | 20060808 | | |
| CA 2471219 | AA | 20051214 | CA 2004-2471219 | 20040614 |

PRIORITY APPLN. INFO.:
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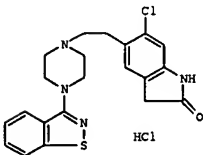


AB The anhydrate form of ziprasidone-HCl (I) was prepared from the base in EtOH with addition of HCl in isopropanol.
IT 122893-93-6P, Ziprasidone hydrochloride
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of an anhydrate form of ziprasidone hydrochloride)
RN 122893-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1224322 CAPLUS
DOCUMENT NUMBER: 143:483095
TITLE: Preparation of amorphous ziprasidone hydrochloride
INVENTOR(S): Zetina-Rocha, Carlos; Rey, Allan W.; Buck, Matthew A.; Dardour, Lotfi; Horne, Stephen E.; Murthy, Keshava K. S.
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

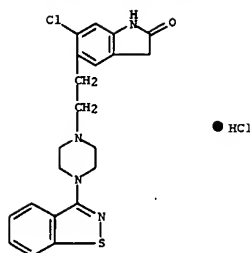
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2005256139 | A1 | 20051117 | US 2004-884991 | 20040707 |
| CA 2467538 | AA | 20051114 | CA 2004-2467538 | 20040514 |
| WO 200511032 | A1 | 20051124 | WO 2004-CA981 | 20040707 |

PRIORITY APPLN. INFO.:
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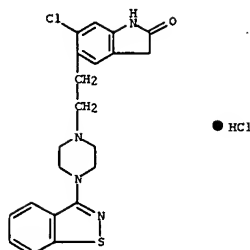
AB The present invention relates to a new and useful amorphous form of ziprasidone hydrochloride (I). I amorphous form was prepared by treatment of the base in heptanes with HCl gas.
IT 122893-93-6P, Ziprasidone hydrochloride
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amorphous ziprasidone hydrochloride)
RN 122893-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl)ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

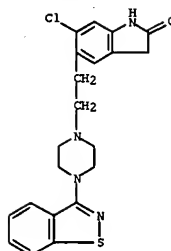


L3 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1216406 CAPLUS
DOCUMENT NUMBER: 143:466204
TITLE: Preparation of a ziprasidone hydrochloride polymorph
INVENTOR(S): Ventimiglia, Gianpiero; Allegrini, Pietro; Castaldi, Graziano
PATENT ASSIGNEE(S): Bipharm S.p.A., Italy; Lundbeck Pharmaceuticals Italy S.p.A.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005108395 | A1 | 20051117 | WO 2005-EP52091 | 20050510 |
| V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: IT 2004-MI944 A 20040511
AB A new crystalline form of ziprasidone-HCl hemihydrate, a process for its preparation, its use for the purification of ziprasidone, its pharmaceutical compns. and their use in therapy are disclosed.
IT 122883-93-6, Ziprasidone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of ziprasidone hydrochloride polymorph)
RN 122883-93-6 CAPLUS
CN ZH-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

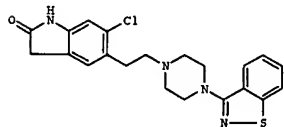


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1154548 CAPLUS
DOCUMENT NUMBER: 143:427349
TITLE: Preparation of amorphous ziprasidone hydrochloride
INVENTOR(S): Tyagi, Om Dutt; Srivastava, Tushar Kumar; Chavan, Yuvraj Atmaram
PATENT ASSIGNEE(S): Lupin Limited, India
SOURCE: PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

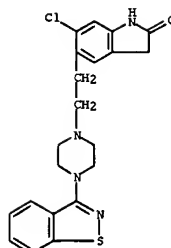
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005100348 | A1 | 20051027 | WO 2005-IN115 | 20050415 |
| V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: IN 2004-MU450 A 20040415
GI



AB A process for preparation of ziprasidone hydrochloride (I) which is in amorphous form. The process comprises providing a solution in a mixture of alc. solvent and acetonitrile and spray drying the solution of I.
IT 122883-93-6, Ziprasidone hydrochloride
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (preparation of amorphous ziprasidone hydrochloride)
RN 122883-93-6 CAPLUS
CN ZH-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:588956 CAPLUS
DOCUMENT NUMBER: 143:10263
TITLE: Process for the preparation of the polymorphic crystalline form B2 of ziprasidone base
INVENTOR(S): Aronhime, Judith; Mendelovici, Marioara; Koltai, Tamas; Moshkovits-Kapstan, Rinat; Nidam, Tamar
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005061493 | A2 | 20050707 | WO 2004-US43127 | 20041220 |
| WO 2005061493 | A3 | 20050909 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, BU, CF, CG, CI, CN, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2550485 | AA | 20050707 | CA 2004-2550485 | 20041220 |
| US 2005197347 | A1 | 20050908 | US 2004-18489 | 20041220 |
| EP 1592688 | A2 | 20051109 | EP 2004-815237 | 20041220 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU | | | | |

PRIORITY APPLN. INFO.: US 2003-531244P P 20031218
WO 2004-US43127 W 20041220

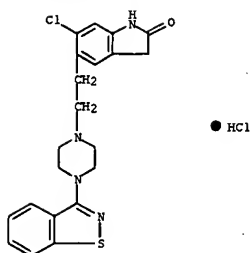
AB A process for the preparation of the polymorphic crystalline form B2 of 5-[2-[4-(3,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one (ziprasidone base) is presented. Processes for preparing pharmaceutically acceptable salts, particularly ziprasidone hydrochlorides and mesyl salts, are also presented.

IT 122883-93-6, Ziprasidone hydrochloride
RL: RCT (Reactant); RCT (Reactant or reagent)
(preparation of polymorphic crystalline form B2 of ziprasidone base)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L3 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L3 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:160836 CAPLUS
DOCUMENT NUMBER: 142:225693
TITLE: Polymorphic forms of ziprasidone HCl and processes for their preparation
INVENTOR(S): Koltai, Tamas; Hedvati, Lilach; Mendelovici, Marioara; Nidam, Tamar
PATENT ASSIGNEE(S): Israel
SOURCE: U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2005043324 | A1 | 20050224 | US 2004-860926 | 20040603 |
| US 2005059680 | A1 | 20050317 | US 2004-860864 | 20040603 |
| CA 2528100 | AA | 20050421 | CA 2004-2528100 | 20040603 |
| WO 2005035531 | A1 | 20050421 | WO 2004-US18018 | 20040603 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, BU, CF, CG, CI, CN, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1546146 | A1 | 20050629 | EP 2004-754586 | 20040603 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |

PRIORITY APPLN. INFO.: US 2003-475806P P 20030603
US 2003-487913P P 20030716
US 2003-494970P P 20030813
US 2003-528346P P 20031209
US 2004-571997P P 20040517
WO 2004-US18018 W 20040603

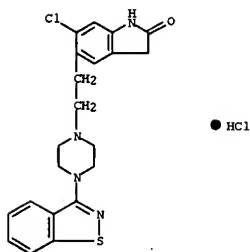
AB Provided are various polymorphic forms of ziprasidone HCl and processes for their preparation. The crystalline form of ziprasidone HCl is characterized by a powder X-ray diffraction pattern. The present invention provides a process for preparing ziprasidone HCl Form E, comprising combining aqueous HCl with ziprasidone base in the presence of Et acetate or acetonitrile to obtain a slurry; maintaining the slurry to obtain ziprasidone HCl; and recovering the ziprasidone HCl.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(polymorphic forms of ziprasidone HCl and processes for their preparation)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L3 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L3 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2005158530 CAPLUS
DOCUMENT NUMBER: 1421246075
TITLE: Crystalline ziprasidone HCl
INVENTOR(S): Mendelovici, Maricoraz; Koltai, Tamas; Aronhime, Judith; Balanov, Annar; Gome, Boaz; Shenkar, Natalia; Amir, Ehud
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXDZ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|--|----------|-----------------|------------|
| WO 2005016325 | A2 | 20050224 | WO 2004-US18017 | 20040603 |
| WO 2005016325 | A3 | 20050324 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
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| CA 2528192 | AA | 20050224 | CA 2004-2528192 | 20040603 |
| US 2005059680 | A1 | 20050317 | US 2004-860864 | 20040603 |
| CA 2528100 | AA | 20050421 | CA 2004-2528100 | 20040603 |
| WO 2005035531 | A1 | 20050421 | WO 2004-US18018 | 20040603 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1530570 | A2 | 20050518 | EP 2004-754585 | 20040603 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | |
| EP 1546146 | A1 | 20050629 | EP 2004-754586 | 20040603 |
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| PRIORITY APPL. INFO.: | | | US 2003-475806P | P 20030603 |
| | | | US 2003-487913P | P 20030716 |
| | | | US 2003-494970P | P 20030813 |
| | | | US 2003-528346P | P 20031209 |
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| | | | WO 2004-US18017 | W 20040603 |
| | | | WO 2004-US18018 | W 20040603 |

GI

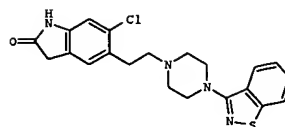
L3 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2004493702 CAPLUS
DOCUMENT NUMBER: 14154361
TITLE: Polymorphic forms of ziprasidone and its hydrochloride
INVENTOR(S): Reddy, Manne Satyanarayana; Srinivasan, Thirumalai Rajan; Uppala, Venka Bhaskara Rao; Venkatesh, Mummadi; Prabhakar, Akundi Surya
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories Inc.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXDZ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|--|----------|-----------------|------------|
| WO 2004050655 | A1 | 20040617 | WO 2003-US38489 | 20031204 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2003300814 | A1 | 20040623 | AU 2003-300814 | 20031204 |
| US 2004152711 | A1 | 20040805 | US 2003-729837 | 20031204 |
| PRIORITY APPL. INFO.: | | | IN 2002-MA907 | A 20021204 |
| | | | WO 2003-US38489 | W 20031204 |

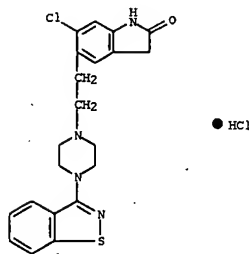
AB The present invention is related to crystalline forms of ziprasidone and its hydrochloride salt and an amorphous form of ziprasidone hydrochloride and the process for the preparation thereof. The crystalline forms and amorphous form of the invention are suitable for pharmaceutical purposes in the treatment of psychosis. The processes of the invention are simple, non-hazardous and com. suitable. Thus, 50 g 5-(2-chloroethyl)-6-chloroindole, 47.5 g 3-(1-piperazinyl)-1,2-benzisothiazole and 500 ml cyclohexane were charged into an autoclave, followed by adding sodium carbonate 46, sodium iodide 3.2, and tetrabutylphosphonium bromide 14.8 g and the reaction mixture was maintained at 95-102° and 2.5 kg/cm² till the reaction was completed, cooled to 300°, treated with 250 ml H₂O, filtered to give, after washing with 100 ml water, the wet compound. The wet compound was suspended in water, filtered, washed water, resuspended in acetone, filtered, washed with acetone, filtered, and dried at 60-65° to give 65.7 g ziprasidone base. Ziprasidone (5 g) and 50 ml acetic acid were placed into a round bottom flask and heated to 45-50°, treated slowly with 25 ml aqueous HCl over 20 min, refluxed, and treated with 10 ml water, followed by addition of 50 ml isopropanol. The reaction mass was cooled to 50°, followed by distilling off the solvent completely under vacuum., to give amorphous form of ziprasidone hydrochloride.

IT 122883-93-6P, Ziprasidone hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L3 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



AB Provided are crystalline ziprasidone (I)-HCl and processes for its preparation
Crystal forms of I-HCl were prepared from solvents such as toluene, chlorobenzene-methanol, di-Et carbonate, acetonitrile, and others.
IT 122883-93-6, Ziprasidone hydrochloride
RL: FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); FORM (Formation, nonpreparative); PROC (Process)
(crystalline forms of ziprasidone HCl)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-[(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



L3 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

(Uses)
(prepn. of polymorphic forms of ziprasidone and its hydrochloride)
RN 122883-93-6 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-[(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STM
 ACCESSION NUMBER: 2003:610236 CAPLUS
 DOCUMENT NUMBER: 139:154927
 TITLE: Pharmaceutical compositions of amorphous dispersions of drugs and lipophilic microphase-forming materials
 INVENTOR(S): Perlman, Michael Ellis; Shanker, Ravi Mysore; Babcock, Walter Christian; Friesen, Wayne Thomas; Rabenstein, Mark David; Smithey, Daniel Tod
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 89 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003063833 | A1 | 20030807 | WO 2003-1B335 | 20030128 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CP, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2474838 | AA | 20030807 | CA 2003-2474838 | 20030128 |
| EP 1469832 | A1 | 20041027 | EP 2003-700435 | 20030128 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003007344 | A | 20041214 | BR 2003-7344 | 20030128 |
| JP 200523262 | T2 | 20050804 | JP 2003-563527 | 20030128 |
| US 2003228359 | A1 | 20031211 | US 2003-355747 | 20030131 |
| PRIORITY APPLN. INFO.: | | | US 2002-354081P | P 20020201 |
| | | | WO 2003-1B335 | W 20030128 |

AB A pharmaceutical composition comprises a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer and a lipophilic microphase-forming material. Alternatively, a solid amorphous dispersion comprising a low-solubility drug and a concentration-enhancing polymer is co-administered with a lipophilic microphase-forming material to an in vivo use environment. A spray solution was formed containing 2.5 wt% drug, 7.5 wt% HPMCAS-MF, and 90% acetone. The solution was spray-dried by directing a 2-fluid external-mix spray nozzle at 2.7 bar with a feed rate of 190 g/min into the stainless-steel chamber of a spray-dryer, by using nitrogen as the drying gas, maintained at a temperature of 137° at the inlet; the drying gas and evaporated solvent exited the drier at 49°. The resulting solid amorphous dispersion was collected and then dried in a solvent tray-drier by spreading the spray-dried particles onto polyethylene-lined trays to a depth of not more than 1 cm and then drying them at 40° for 25 h. After drying, dispersion 1 contained 25 wt% drug.

IT 122883-93-6, Ziprasidone hydrochloride
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. of amorphous dispersions of drugs and lipophilic microphase-forming materials)

RN 122883-93-6 CAPLUS

L3 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STM
 ACCESSION NUMBER: 1999:355752 CAPLUS
 DOCUMENT NUMBER: 131:119
 TITLE: A covalent conjugate of clozapine with a fatty acid and its use for treating schizophrenia
 INVENTOR(S): Bradley, Matthews O.; Shashoua, Victor E.; Swindell, Charles S.; Webb, Nigel L.
 PATENT ASSIGNEE(S): Neuromedica, Inc., USA
 SOURCE: PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 9926661 | A1 | 19990603 | WO 1998-US24412 | 19981116 |
| W: | AU, CA, JP | | | |
| RW: | AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | |
| US 6197764 | B1 | 20010306 | US 1997-978541 | 19971126 |
| CA 2310850 | AA | 19990603 | CA 1998-2310850 | 19981116 |
| AU 9914115 | A1 | 19990615 | AU 1999-14115 | 19981116 |
| AU 746472 | B2 | 20020502 | | |
| EP 1044023 | A1 | 20001018 | EP 1998-957987 | 19981116 |
| EP 1044023 | B1 | 20050525 | | |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE | | | |
| JP 2001523732 | T2 | 20011127 | JP 2000-521862 | 19981116 |
| AT 296116 | E | 20050615 | AT 1998-957987 | 19981116 |
| ES 2244098 | T3 | 20051201 | ES 1998-957987 | 19981116 |
| PRIORITY APPLN. INFO.: | | | US 1997-978541 | A 19971126 |
| | | | WO 1998-US24412 | W 19981116 |

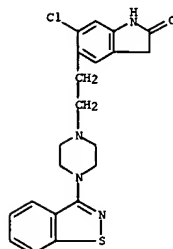
AB The invention provides compns. that include conjugates of a fatty acid mol., preferably cis-docosahexaenoic acid, and clozapine. The conjugates are useful in treating psychol. disorders such as schizophrenia. Docosahexaenoic acid-clozapine (preparation given) was at least six times longer-acting than clozapine against locomotor behavioral arousal in rats treated with R(-) apomorphine.

IT 122883-93-6, Ziprasidone hydrochloride
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical further containing; clozapine conjugate with fatty acid for treating schizophrenia)

RN 122883-93-6 CAPLUS

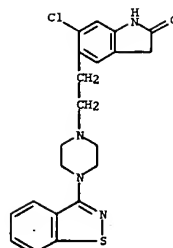
RN 2H-Indol-2-one, 5-[2-[(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L3 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STM (Continued)
 CN 2H-Indol-2-one, 5-[2-[(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STM (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT